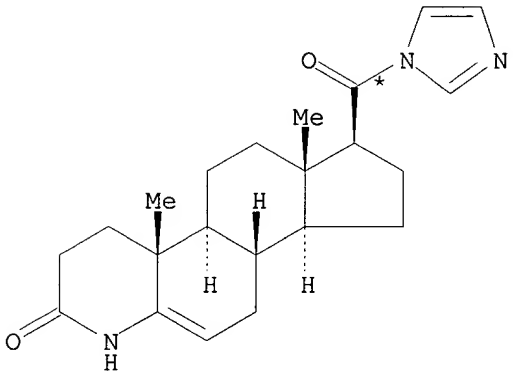
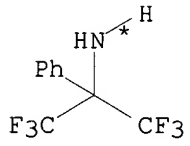
=> d fhit bib abs

L21 ANSWER 1 OF 2 CASREACT COPYRIGHT 2000 ACS

RX(1) OF 1 **A** + B ===> C

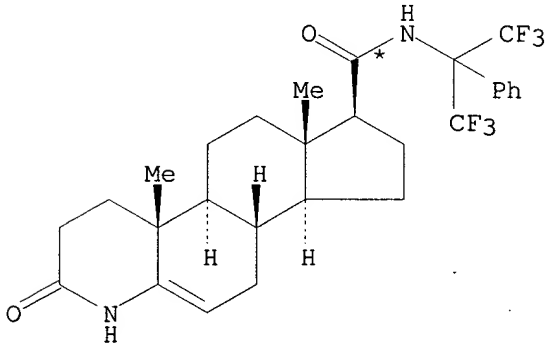


A



B

(1)



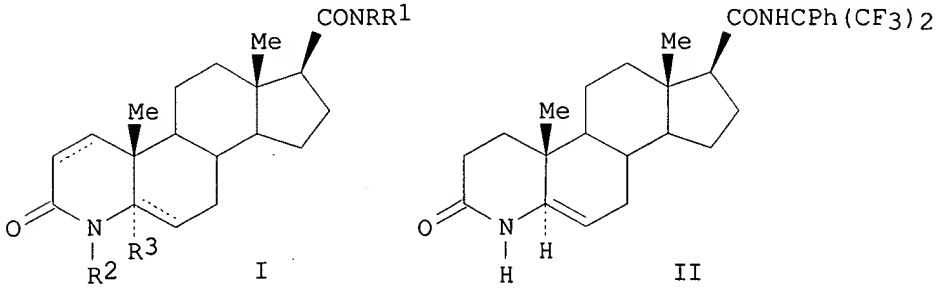
C
YIELD 69%

RX(1) RCT A **229183-12-4**, B 15562-06-8
RGT D 75-75-2 MeSO3H
PRO C 188754-88-3
SOL 67-66-3 CHCl3
AN 131:73842 CASREACT
TI Process for preparing carboxamido-4-azasteroids
IN Panzeri, Achille; D'Anello, Matteo; Longo, Antonio; Nesi, Marcella
PA Pharmacia & Upjohn Spa, Italy
Searched by John Dantzman 308-4488

SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9935161	A1	19990715	WO 1998-EP8527	19981217
W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, HU, ID, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9925146	A1	19990726	AU 1999-25146	19981217
EP 970105	A1	20000112	EP 1998-966861	19981217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
NO 9904199	A	19991029	NO 1999-4199	19990830

PRAI GB 1997-27522 19971231
WO 1998-EP8527 19981217
OS MARPAT 131:73842
GI

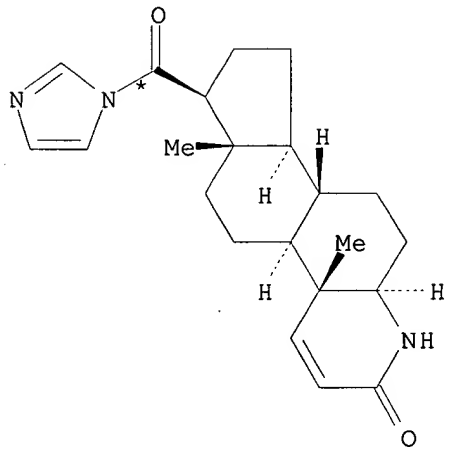


AB A process for producing azasteroids of formula I [R, R1 = H, (fluorine substituted) alkyl, (fluorine substituted) phenylalkyl, etc.; R2 = H, (fluorine substituted) alkyl; R3 = H, absent] comprises treating the corresponding 17.beta.-carbonylimidazole intermediates with anhyd. acids in the presence of an amine and, optionally, hydrogenating the resulting compd. Thus, 3-oxo-4-azaandrost-5-ene-17.beta.-carbonyl-1-imidazole was reacted with 1,1,1,3,3,3-hexafluoro-2-phenylprop-2-ylamine and methanesulfonic acid to give II.

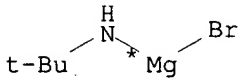
=> d fhit bib abs 2

L21 ANSWER 2 OF 2 CASREACT COPYRIGHT 2000 ACS

RX(1) OF 2 **A** + B ===> C

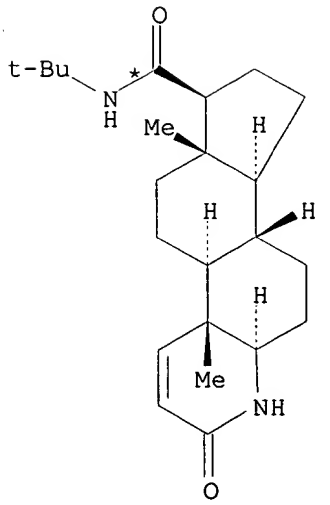


A



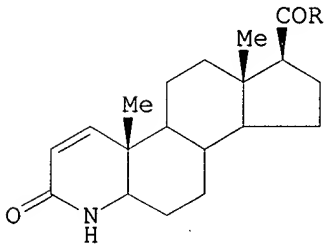
B

(1) →

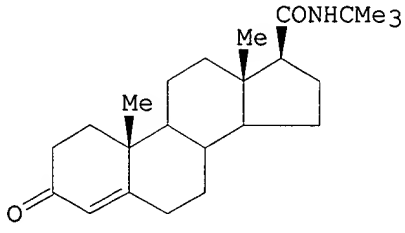


C
YIELD 96%

RX(1) RCT A **129273-17-2**, B 120814-00-8
PRO C 98319-26-7
SOL 109-99-9 THF
AN 114:164608 CASREACT
TI Acylimidazolides as versatile synthetic intermediates for the preparation of sterically congested amides and ketones: a practical synthesis of Proscar
AU Bhattacharya, A.; Williams, J. M.; Amato, J. S.; Dolling, U. H.; Grabowski, E. J. J.
CS Process Res. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SO Synth. Commun. (1990), 20(17), 2683-90
CODEN: SYNCAV; ISSN: 0039-7911
DT Journal
LA English
GI



I



II

AB Acylimidazolides, e.g., I (R = 1-imidazolyl) react with magnesium amides to produce carboxamides in excellent yields, whereas Fe(III) catalyzed cross coupling between acylimidazolide and Grignard reagents produce ketones in high yields. These methods were utilized to prep. the .alpha.-reductase inhibitor Proscar I (R = NHCMe3), as well as various 17.beta.-amides, e.g., I (R = NEt2, NHR1; R1 = cyclohexyl, 2-adamantyl) and II, and ketone analogs I (R = sec-Bu, iso-Bu, iso-Pr, cyclohexyl) of .DELTA.1-4-aza-5.alpha.-androsten-3-one.